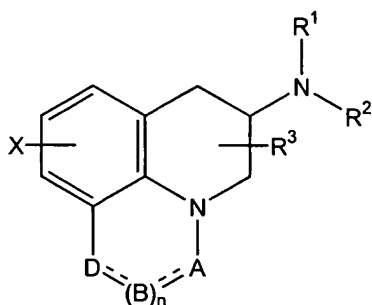


WHAT IS CLAIMED IS:

1. A pharmaceutical composition in unit dosage form comprising a salt, the salt comprising an acid of an artificial sweetener and a compound of formula (I):



wherein

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are the same or different and are: -H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>5</sub> alkenyl, C<sub>3</sub>-C<sub>5</sub> alkynyl, C<sub>3</sub>-C<sub>5</sub> cycloalkyl, C<sub>4</sub>-C<sub>10</sub> cycloalkyl, phenyl substituted C<sub>1</sub>-C<sub>6</sub> alkyl, -NR<sub>1</sub>R<sub>2</sub> where R<sub>1</sub> and R<sub>2</sub> are cyclized with the attached nitrogen atom to produce pyrrolidyl, piperidinyl, morpholinyl, 4-methyl piperazinyl or imidazolyl;

X is: -H, C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -Br, -I, -OH, C<sub>1</sub>-C<sub>6</sub> alkoxy, cyano, carboxamide, carboxyl, (C<sub>1</sub>-C<sub>6</sub> alkoxy)carbonyl;

A is: CH, CH<sub>2</sub>, CH-(halogen) (where halogen is Cl, F, Br, or I), CHCH<sub>3</sub>, C=O, C=S, C-SCH<sub>3</sub>, C=NH, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, SO<sub>2</sub>, N;

B is: CH<sub>2</sub>, CH, CH-(halogen) where halogen is as defined above, C=O, N, NH, N-CH<sub>3</sub>,

D is: CH, CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, C=O, O, N, NH, N-CH<sub>3</sub>; and n is 0 or 1, and where  $\text{---}$  is a single or double bond, with the provisos:

(1) that when n is 0, and

A is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>;  
then

D is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, C=O, O, NH, N-CH<sub>3</sub>;

(2) that when n is 0, and

A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOH<sub>3</sub>, C-NHCN, N; then D is CH, N;

(3) that when n is 1, and

A is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, CHCH<sub>3</sub>, C=O, C-S, C=NH, SO<sub>2</sub>; and

B is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, C=O, NH, N-CH<sub>3</sub>; then

D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(4) that when n is 1, and

A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, N; and

B is CH, N; then

D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(5) that when n is 1, and

A is CH<sub>2</sub>, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>, and

B is CH, N; then

D is CH, N,

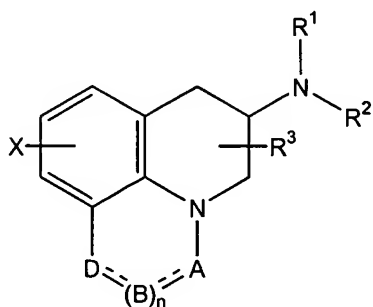
the unit dosage form comprising from about 0.05 mg to no more than about 8 mg of the compound of formula (I).

2. A pharmaceutical composition according to claim 1, wherein the artificial sweetener is selected from the group consisting of cyclamate, saccharin, aspartame, neotame, acesulfame, alitame and combinations thereof.

3. A pharmaceutical composition according to claim 2, wherein the artificial sweetener is cyclamate.

4. A pharmaceutical composition according to claim 2, wherein the artificial sweetener is saccharin.
5. A pharmaceutical composition according to claim 1, wherein the compound of formula (I) is (*R*)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-*i,j*]-quinoline-2(1H)-thione.
6. A pharmaceutical composition according to claim 1, wherein the unit dosage form comprises from about 0.1 mg to about 3 mg of the compound of formula (I).
7. A pharmaceutical composition according to claim 6, wherein the unit dosage form comprises from about 0.25 mg to about 1 mg of the compound.
8. A pharmaceutical composition according to claim 1 comprising a crystalline salt, the crystalline salt comprising cyclamic acid and a compound of formula (I).
9. A pharmaceutical composition according to claim 8, wherein the compound of formula (I) is (*R*)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-*i,j*]-quinoline-2(1H)-thione.
10. A pharmaceutical composition according to claim 9, which is in a unit dosage form comprising a dosage form comprising from about 0.05 mg to about 8 mg of the compound.
11. A pharmaceutical composition according to claim 10, which is in a unit dosage form comprising from about 0.1 mg to about 3 mg of the compound.
12. A pharmaceutical composition according to claim 11, which is in a unit dosage form comprising from about 0.25 mg to about 1 mg of the compound.

13. A method for treating sexual dysfunction in a subject, the method comprising orally administering to the subject a pharmaceutical composition in a unit dosage form comprising a salt, the salt comprising an acid of an artificial sweetener and a compound of formula (I):



wherein

$R^1$ ,  $R^2$  and  $R^3$  are the same or different and are: -H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_5$  alkenyl,  $C_3$ - $C_5$  alkynyl,  $C_3$ - $C_5$  cycloalkyl,  $C_4$ - $C_{10}$  cycloalkyl, phenyl substituted  $C_1$ - $C_6$  alkyl,  $-NR_1R_2$  where  $R_1$  and  $R_2$  are cyclized with the attached nitrogen atom to produce pyrrolidyl, piperidyl, morpholinyl, 4-methyl piperazinyl or imidazolyl;

X is: -H,  $C_1$ - $C_6$  alkyl, -F, -Cl, -Br, -I, -OH,  $C_1$ - $C_6$  alkoxy, cyano, carboxamide, carboxyl, ( $C_1$ - $C_6$  alkoxy)carbonyl;

A is: CH,  $CH_2$ , CH-(halogen) (where halogen is Cl, F, Br, or I),  $CHCH_3$ , C=O, C=S, C-SCH<sub>3</sub>, C=NH, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, SO<sub>2</sub>, N;

B is:  $CH_2$ , CH, CH-(halogen) where halogen is as defined above, C=O, N, NH, N-CH<sub>3</sub>,

D is: CH,  $CH_2$ , CH-(halogen) where halogen is as defined above, C=O, O, N, NH, N-CH<sub>3</sub>; and n is 0 or 1, and where  $\text{---}$  is a single or double bond, with the provisos:

(1) that when n is 0, and

A is  $CH_2$ , CH-(halogen) where halogen is as defined above,  $CHCH_3$ , C=O, C=S, C=NH, SO<sub>2</sub>;  
then

D is  $CH_2$ , CH-(halogen) where halogen is as defined above, C=O, O, NH, N-CH<sub>3</sub>;

(2) that when n is 0, and

A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOH<sub>3</sub>, C-NHCN, N; then D is CH, N;

(3) that when n is 1, and

A is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, CHCH<sub>3</sub>, C=O, C-S, C=NH, SO<sub>2</sub>; and

B is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, C=O, NH, N-CH<sub>3</sub>; then

D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(4) that when n is 1, and

A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, N; and

B is CH, N; then

D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(5) that when n is 1, and

A is CH<sub>2</sub>, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>, and

B is CH, N; then

D is CH, N,

the unit dosage form comprising from about 0.05 mg to no more than about 8 mg of the compound of formula (I).

14. A method according to claim 13 wherein the artificial sweetener is selected from the group consisting of cyclamate, saccharin, aspartame, neotame, acesulfame, alitame and combinations thereof.

15. A method according to claim 14, wherein the artificial sweetener is cyclamate.

16. A method according to claim 14, wherein the artificial sweetener is saccharin.

17. A method according to claim 13, wherein the compound of formula (I) is (*R*)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-*i,j*]-quinoline-2(1H)-thione.

18. A method according to claim 13, wherein the unit dosage form comprising from about 0.1 mg to about 3 mg of the compound of formula (I).

19. A method according to claim 18, wherein the unit dosage form comprises from about 0.25 mg to about 1 mg of the compound.

20. A method according to claim 13, wherein the pharmaceutical composition comprises a crystalline salt, the salt comprising cyclamic acid and a compound of formula (I).

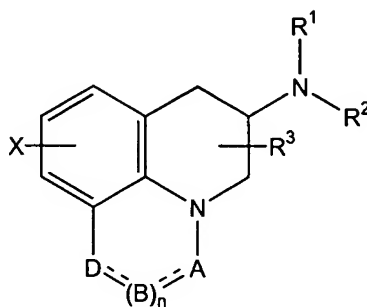
21. A method according to claim 20, wherein the compound is (*R*)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-*i,j*]-quinoline-2(1H)-thione.

22. A method according to claim 21, wherein the pharmaceutical composition in unit dosage form comprises a dosage form comprising from about 0.05 mg to about 8 mg of the compound.

23. A method according to claim 22, wherein the unit dosage form comprising from about 0.05 mg to no more than about 8 mg of the compound of formula (I) is a unit dosage form comprising from about 0.1 mg to about 3 mg of the compound of formula (I).

24. A method according to claim 23, wherein the pharmaceutical composition in a unit dosage form comprises a dosage form comprising from about 0.25 mg to about 1 mg of the compound.

25. A method of making a crystalline salt, the crystalline salt comprising cyclamic acid and a compound of formula (I), the method comprising forming a solution comprising the compound of formula (I), the cyclamic acid, tetrahydrofuran and methanol, and forming the crystalline salt from the solution, wherein the compound of formula (I) is



where

$R^1$ ,  $R^2$  and  $R^3$  are the same or different and are: -H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_5$  alkenyl,  $C_3$ - $C_5$  alkynyl,  $C_3$ - $C_5$  cycloalkyl,  $C_4$ - $C_{10}$  cycloalkyl, phenyl substituted  $C_1$ - $C_6$  alkyl,  $-NR_1R_2$  where  $R_1$  and  $R_2$  are cyclized with the attached nitrogen atom to produce pyrrolidyl, piperidinyl, morphoninyl, 4-methyl piperazinyl or imidazolyl;

X is: -H,  $C_1$ - $C_6$  alkyl, -F, -Cl, -Br, -I, -OH,  $C_1$ - $C_6$  alkoxy, cyano, carboxamide, carboxyl, ( $C_1$ - $C_6$  alkoxy)carbonyl;

A is: CH,  $CH_2$ , CH-(halogen) (where halogen is Cl, F, Br, or I),  $CHCH_3$ , C=O, C=S, C-S $CH_3$ , C=NH, C-NH $_2$ , C-NH $CH_3$ , C-NHCOO $CH_3$ , C-NHCN, SO $_2$ , N;

B is:  $CH_2$ , CH, CH-(halogen) where halogen is as defined above, C=O, N, NH, N- $CH_3$ ,

D is: CH,  $CH_2$ , CH-(halogen) where halogen is as defined above, C=O, O, N, NH, N- $CH_3$ ; and n is 0 or 1, and where  $\text{---}$  is a single or double bond, with the provisos:

(1) that when n is 0, and

A is  $CH_2$ , CH-(halogen) where halogen is as defined above,  $CHCH_3$ , C=O, C=S, C=NH, SO $_2$ ;  
then

D is  $CH_2$ , CH-(halogen) where halogen is as defined above, C=O, O, NH, N- $CH_3$ ;

(2) that when n is 0, and

A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOH<sub>3</sub>, C-NHCN, N; then D is CH, N;

(3) that when n is 1, and

A is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, CHCH<sub>3</sub>, C=O, C-S, C=NH, SO<sub>2</sub>; and

B is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, C=O, NH, N-CH<sub>3</sub>; then

D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(4) that when n is 1, and

A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, N; and

B is CH, N; then

D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(5) that when n is 1, and

A is CH<sub>2</sub>, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>, and

B is CH, N; then

D is CH, N.

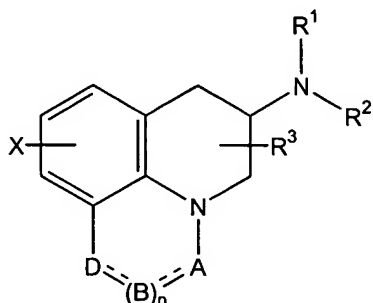
26. A method according to claim 25, wherein the compound is (*R*)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-*i,j*]-quinoline-2(1H)-thione.

27. A method according to claim 25, wherein the dissolved compound of formula (I) and the cyclamic acid added to the solution are in a molar ratio of about 1:2 to about 2:1.

28. A method according to claim 27, wherein, the dissolved compound of formula (I) and the cyclamic acid added to the solution are in a molar ratio of about 1:1.4 to about 1.4:1.



29. A method of increasing sexual desire, interest or performance in a human who is desirous thereof, the method comprising orally administering to the human a pharmaceutical composition in unit dosage form comprising a salt, the salt comprising an acid of an artificial sweetener and a compound of formula (I):



wherein

$R^1$ ,  $R^2$  and  $R^3$  are the same or different and are: -H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_5$  alkenyl,  $C_3$ - $C_5$  alkynyl,  $C_3$ - $C_5$  cycloalkyl,  $C_4$ - $C_{10}$  cycloalkyl, phenyl substituted  $C_1$ - $C_6$  alkyl,  $-NR_1R_2$  where  $R_1$  and  $R_2$  are cyclized with the attached nitrogen atom to produce pyrrolidyl, piperidinyl, morphoninyl, 4-methyl piperazinyl or imidazolyl;

X is: -H,  $C_1$ - $C_6$  alkyl, -F, -Cl, -Br, -I, -OH,  $C_1$ - $C_6$  alkoxy, cyano, carboxamide, carboxyl, ( $C_1$ - $C_6$  alkoxy)carbonyl;

A is: CH,  $CH_2$ , CH-(halogen) (where halogen is Cl, F, Br, or I),  $CHCH_3$ , C=O, C=S, C-S $CH_3$ , C=NH, C-NH $_2$ , C-NH $CH_3$ , C-NHCOO $CH_3$ , C-NHCN,  $SO_2$ , N;

B is:  $CH_2$ , CH, CH-(halogen) where halogen is as defined above, C=O, N, NH, N- $CH_3$ ,

D is: CH,  $CH_2$ , CH-(halogen) where halogen is as defined above, C=O, O, N, NH, N- $CH_3$ ; and n is 0 or 1, and where  $\text{---}$  is a single or double bond, with the provisos:

(1) that when n is 0, and

A is  $CH_2$ , CH-(halogen) where halogen is as defined above,  $CHCH_3$ , C=O, C=S, C=NH,  $SO_2$ ; then

D is  $CH_2$ , CH-(halogen) where halogen is as defined above, C=O, O, NH, N- $CH_3$ ;

(2) that when n is 0, and

A is CH, C-S $CH_3$ , C-NH $_2$ , C-NH $CH_3$ , C-NHCOO $H_3$ , C-NHCN, N; then D is CH, N;

(3) that when n is 1, and

A is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, CHCH<sub>3</sub>, C=O, C-S, C=NH, SO<sub>2</sub>; and

B is CH<sub>2</sub>, CH-(halogen) where halogen is as defined above, C=O, NH, N-CH<sub>3</sub>; then

D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(4) that when n is 1, and

A is CH, C-SCH<sub>3</sub>, C-NH<sub>2</sub>, C-NHCH<sub>3</sub>, C-NHCOOCH<sub>3</sub>, C-NHCN, N; and

B is CH, N; then

D is CH<sub>2</sub>, C=O, O, NH, N-CH<sub>3</sub>;

(5) that when n is 1, and

A is CH<sub>2</sub>, CHCH<sub>3</sub>, C=O, C=S, C=NH, SO<sub>2</sub>, and

B is CH, N; then

D is CH, N,

the unit dosage form comprising from about 0.05 mg to no more than about 8 mg of the compound of formula (I).

30. A method according to claim 29 wherein the artificial sweetener is selected from the group consisting of cyclamate, saccharin, aspartame, neotame, acesulfame, alitame and combinations thereof.

31. A method according to claim 30, wherein the artificial sweetener is cyclamate.

32. A method according to claim 30, wherein the artificial sweetener is saccharin.

33. A method according to claim 29, wherein the compound of formula (I) is (*R*)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-*i,j*]-quinoline-2(1H)-thione.

34. A method according to claim 29, wherein the unit dosage form comprises from about 0.1 mg to about 3 mg of the compound of formula (I).

35. A method according to claim 34, wherein the unit dosage form comprises from about 0.25 mg to about 1 mg of the compound of formula (I).

36. A method according to claim 29, wherein the pharmaceutical composition comprises a crystalline salt, the crystalline salt comprising cyclamic acid and a compound of formula (I).

37. A method according to claim 36, wherein the compound is (*R*)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-*i,j*]-quinoline-2(1H)-thione.

38. A method according to claim 37, wherein the pharmaceutical composition is in a unit dosage form, the dosage form comprising from about 0.05 mg to about 8 mg of the compound.

39. A method according to claim 38, wherein the unit dosage form comprises from about 0.1 mg to about 3 mg of the compound.

40. A method according to claim 39, wherein the pharmaceutical composition is in a unit dosage form comprising from about 0.25 mg to about 1 mg of the compound.